



TITLE:

Synthesis of 5-(Aminoacylamido)-3-methylisoxazole Derivatives and Their Analgesic Action

AUTHOR(S):

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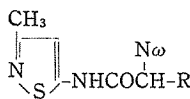




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Synthesis of 5-(Aminoacylamido)-3-methylisothiazole Derivatives and Their Analgesic Action

Shojiro UYEO, Hajime FUJIMURA and Atsushi ASAI

*Yakugaku Zasshi (Journal of the Pharmaceutical
Society of Japan)*, 83, 195 (1963)

5-(2-Haloacylamido)-3-methylisothiazoles were prepared by treatment of 5-amino-3-methylisothiazole with 2-haloacyl halides and afforded with dimethylamine, diethylamine, piperidine, morpholine and pyrrolidine the corresponding 5-(2-alkyl-aminoacylamido)-3-methylisothiazoles respectively. Interaction of 5-amino-3-methylthiazole and *p*-nitrobenzoyl chloride gave 5-(*p*-nitrobenzamino)-3-methylisothiazole which was reduced with iron in acetic acid to afford 5-(*p*-aminobenzamido)-3-methylisothiazole. Analgesic action and toxicity of these compounds were tested and most of them, especially compounds V, VI, X, and XI were more effective than aminopyrine.

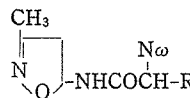

	Compd. No.	Substituents	
		R	N ω
	V	H	
	VI	H	
	X	CH ₃	
	XI	CH ₃	

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	Compd. No.	Substituents	
		R	N ω
	VI	H	
	XI	CH ₃	